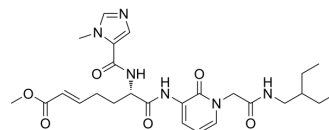


ZED-1227

| | | | |
|---------------------------|---------------------------------------------------------------|-------|----------|
| Cat. No.: | HY-19359 | | |
| CAS No.: | 1542132-88-6 | | |
| Molecular Formula: | C ₂₆ H ₃₆ N ₆ O ₆ | | |
| Molecular Weight: | 528.6 | | |
| Target: | Glutaminase | | |
| Pathway: | Metabolic Enzyme/Protease | | |
| Storage: | Powder | -20°C | 3 years |
| | | 4°C | 2 years |
| | In solvent | -80°C | 6 months |
| | | -20°C | 1 month |



SOLVENT & SOLUBILITY

| | | | | |
|-------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------|-----------|------------|
| In Vitro | DMSO : 125 mg/mL (236.47 mM; Need ultrasonic) | | | |
| | | Solvent Concentration | Mass | |
| | | | 1 mg | 5 mg |
| | | | 10 mg | |
| Preparing Stock Solutions | 1 mM | 1.8918 mL | 9.4589 mL | 18.9179 mL |
| | 5 mM | 0.3784 mL | 1.8918 mL | 3.7836 mL |
| | 10 mM | 0.1892 mL | 0.9459 mL | 1.8918 mL |
| Please refer to the solubility information to select the appropriate solvent. | | | | |
| In Vivo | <ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 2.08 mg/mL (3.93 mM); Suspended solution; Need ultrasonic Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (3.93 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (3.93 mM); Clear solution | | | |

BIOLOGICAL ACTIVITY

| | |
|-------------------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description | ZED-1227 is a specific and orally active transglutaminase 2 (TG2) inhibitor, with an IC ₅₀ of 45 nM. ZED-1227 can block inflammation-induced TG2 expression and activity. ZED-1227 can be used for the research of celiac disease (CeD) ^{[1][2]} . |
| IC₅₀ & Target | IC ₅₀ : 45 nM (TG2) ^[2] |
| In Vitro | ZED-1227 (0.1 μM-1 μM; 24 hours) has no effect on metabolic activity and proliferation in Huh7 cells and CaCo2 cells,? that suggests ZED-1227 has no cytotoxic activity ^[2] . |

ZED-1227 (0.002-0.2 mg/mL; 30 minutes) inhibits TG2 in the small intestinal mucosa in vitro^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

ZED-1227 reduces the activity of intestinal TG2 induced by Polyinosinic:Polycytidylic acid (40 mg/kg) to normal control levels and subdues intestinal inflammation in mice^[1].

ZED-1227 (5 mg/kg; i.g.) is able to inhibit TG2 in the small intestinal mucosa^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|-----------------|-------------------------------------------------------|
| Animal Model: | BALB/c mice ^[2] |
| Dosage: | 5 mg/kg |
| Administration: | Oral gavage |
| Result: | Inhibited TG2 in vivo in the small intestinal mucosa. |

REFERENCES

[1]. Manu Encalada, et al. The Oral Transglutaminase 2 (TG2) Inhibitor Zed1227 Blocks TG2 Activity in a Mouse Model of Intestinal Inflammation. *Gastroenterology*. 154(6):S-490.

[2]. Christian Büchold, et al. Pyridinone derivatives as tissue transglutaminase inhibitors. WO2014012858A1.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA